

PRD 5/20/2003

10/550,038 YONG CHU 05-18-2005

10/550,038 YONG CHU 05-18-2005

\$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

only one ODP 3/9

Welcome to STN International! Enter x:x

LOGINID: ssptaylc1626

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22 EMBASE is now updated on a daily basis
NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that specific topic.

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Take survey: <http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW>

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FILE 'HOME' ENTERED AT 07:31:09 ON 18 MAY 2006

FILE 'REGISTRY' ENTERED AT 07:31:17 ON 18 MAY 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0
DICTIONARY FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

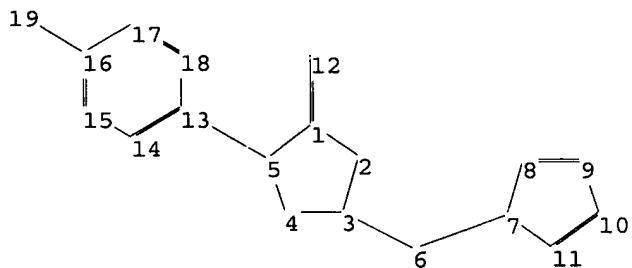
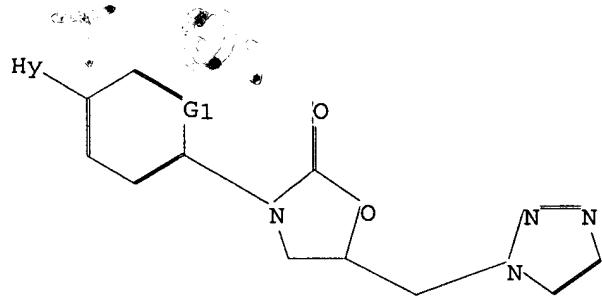
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*****
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*****
```

Structure search iteration limits have been increased. See **HELP SLIMITS** for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

```
=>
Uploading C:\Program Files\Stnexp\Queries\10550038\10550038a.str
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chain nodes :

6 12 19

ring nodes :

1 2 3 4 5 7 8 9 10 11 13 14 15 16 17 18

chain bonds :

1-12 3-6 5-13 6-7 16-19

ring bonds :

1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11 13-14 13-18 14-15 15-16
16-17 17-18

exact/norm bonds :

1-2 1-5 1-12 2-3 3-4 3-6 4-5 5-13 6-7 7-8 7-11 8-9 9-10 10-11 13-14
13-18 14-15 15-16 16-17 16-19 17-18

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Generic attributes :

19:

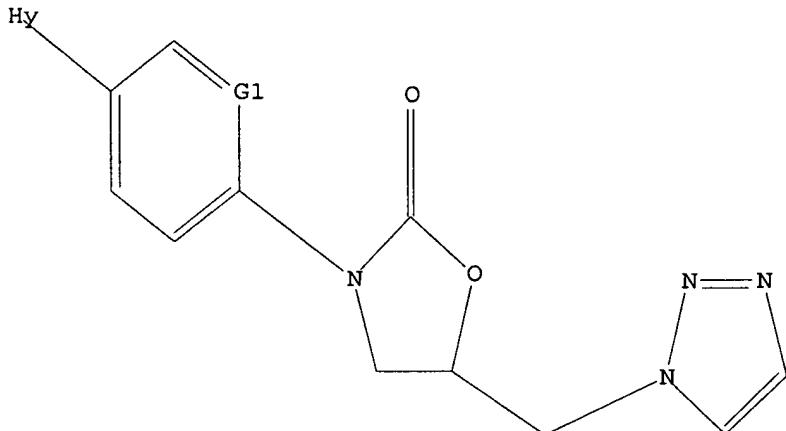
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 07:31:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 817 TO 1783
PROJECTED ANSWERS: 1 TO 80
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L2 1 SEA SSS SAM L1

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FULL SEARCH INITIATED 07:31:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1368 TO ITERATE
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100.0% PROCESSED 1368 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01
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L3 55 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST           166.94 167.15
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FILE 'CAPLUS' ENTERED AT 07:32:04 ON 18 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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```

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FILE LAST UPDATED: 16 May 2006 (20060516/ED)

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They are available for your review at:

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=> s 13
L4 9 L3

=> d ibib abs hitstr tot

ACCESSION NUMBER: 2005:409511 CAPLUS

DOCUMENT NUMBER: 142:463731

TITLE: A preparation of novel oxazolidinone derivatives,

useful as antibacterial agents

INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun

PATENT ASSIGNEE(S): Il-Dong Pharm. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

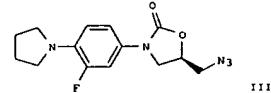
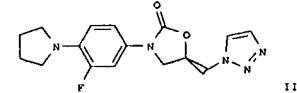
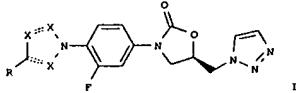
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042523	AI	20050512	WO 2004-KR2805	20041103
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RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPN. INFO.: KR 2003-77372 A 20031103

KR 2004-82328 A 20041014

OTHER SOURCE(S): MARPAT 142:463731

GI



AB The invention relates to a preparation of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone derivative II [MIC (μg/mL): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.6; LD₅₀ >5000 mg/kg] was prepared via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone derivative III with a yield of 74%.

IT 851529-97-0P 851529-98-1P

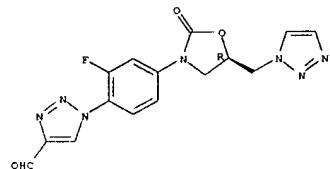
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); preparation of novel oxazolidinone derivs. useful as antibacterial agents

RN 851529-97-0 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxaldehyde,

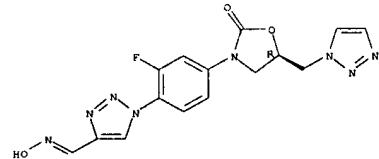
1-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-98-1 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxaldehyde,
1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

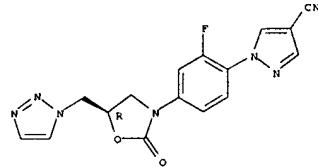
Absolute stereochemistry.
Double bond geometry unknown.



IT 851530-02-4P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); preparation of novel oxazolidinone derivs. useful as antibacterial agents

RN 851530-02-4 CAPLUS
CN 1H-Pyrazole-4-carbonitrile,
1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1-ylmethyl-3-oxazolidinyl group (9CI) (CA INDEX NAME)

Absolute stereochemistry.



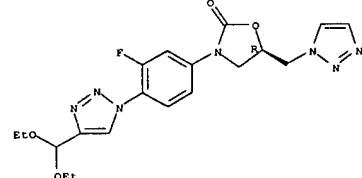
IT 851529-96-9P 851530-00-2P 851530-01-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); preparation of novel oxazolidinone derivs. useful as antibacterial agents

RN 851529-96-9 CAPLUS

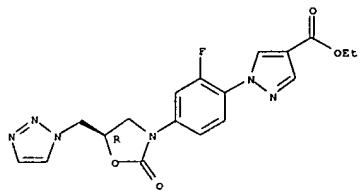
CN 2-Oxazolidinone, 3-[4-(4-(diethoxymethyl)-1H-1,2,3-triazol-1-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



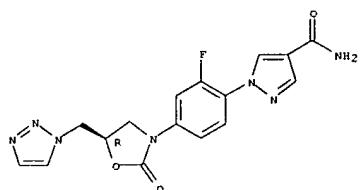
RN 851530-00-2 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



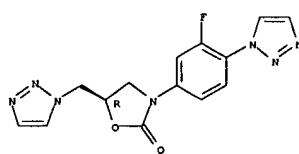
RN 851530-01-3 CAPLUS
 CN 1H-Pyrazole-4-carboxamide,
 1-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



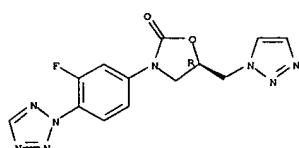
IT 851529-85-6P 851529-86-7P 851529-99-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel oxazolidinone derivs. useful as antibacterial agents)
 RN 851529-85-6 CAPLUS
 CN 2-Oxazolidinone,
 3-[3-fluoro-4-(1H-1,2,3-triazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



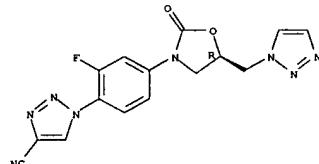
RN 851529-86-7 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(2H-tetrazol-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-99-2 CAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[2-fluoro-4-((SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



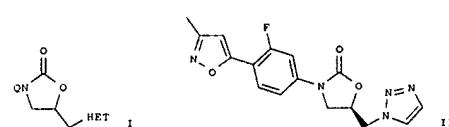
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

Current application

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:799584 CAPLUS
 DOCUMENT NUMBER: 141:296028
 TITLE: Preparation of azolylmethylloxazolidinones as antibiotics.
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 72 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083206	AI	20040930	WO 2004-GB1132	20040316
W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, E2, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, IT, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, 2M, 2W, AM, AZ, BY, KG, KZ, MD, PU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1603903	AI	20051214	EP 2004-720909	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2006079695	AI	20060413	US 2005-550038	20050921
PRIORITY APPLN. INFO.: US 2006079695			GB 2003-6357	A 20030320
			WO 2004-GB1132	W 20040316

OTHER SOURCE(S): MARPAT 141:296028
 GI



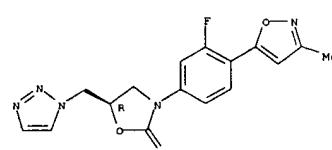
AB Title compds. [I]: HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolylphenyl, azolylpyridinyl, azolylloxazolyl, azolylthiazolyl, etc.; were prepared. Thus, (R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), (PPh3)2PdCl2, and 5-tributylstannyl-3-methylisoxazole were heated together.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 at 100° in dioxane for 16 h to give title compd. (II). II showed a min. inhibitory concn. of 1 μ g/mL against *Staphylococcus aureus* MSSR (methicillin resistant) and *quinolone* resistant).

IT 765286-86-2P 765286-97-3P 765286-98-4P
 765286-89-5P 765287-00-1P 765287-01-2P
 765287-02-3P 765287-03-4P 765287-04-5P
 765287-05-6P 765287-06-7P 765287-16-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of azolylmethylloxazolidinones as antibiotics)

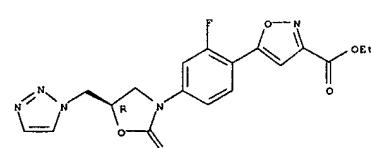
RN 765286-96-2 CAPLUS
 CN 2-Oxazolidinone,
 3-[3-fluoro-4-(3-methyl-5-isoxazolyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



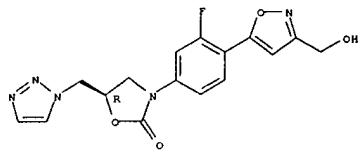
RN 765286-97-3 CAPLUS
 CN 3-Isoxazolecarboxylic acid,
 5-[2-fluoro-4-((SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



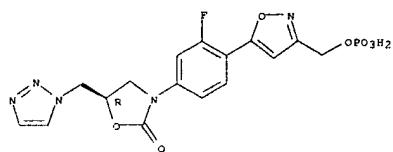
RN 765286-98-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-hydroxymethyl)-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



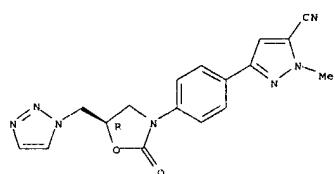
RN 765286-99-5 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



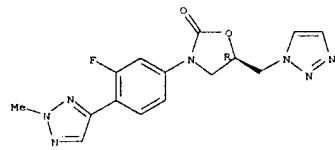
RN 765287-00-1 CAPLUS
 CN 1H-Pyrazole-5-carbonitrile, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



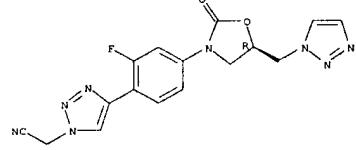
RN 765287-01-2 CAPLUS
 CN 1H-Pyrazole-5-carboxaldehyde, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



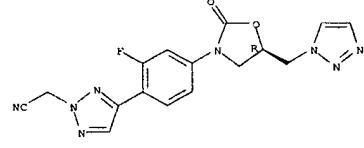
RN 765287-05-6 CAPLUS
 CN 1H-1,2,3-Triazole-1-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



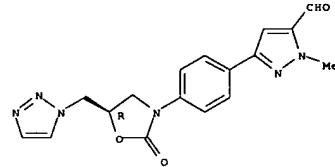
RN 765287-06-7 CAPLUS
 CN 2H-1,2,3-Triazole-2-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



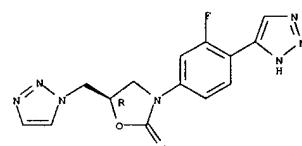
RN 765287-18-1 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, disodium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



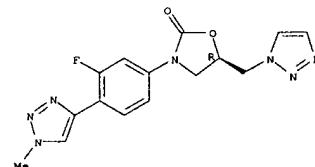
RN 765287-02-3 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



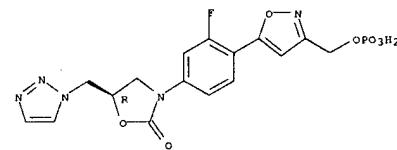
RN 765287-03-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-methyl-1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-04-5 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

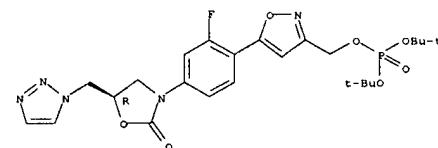


● 2 Na

IT 765287-07-BP 765287-15-BP
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azolylmethyloxazolidinones as antibiotics)

RN 765287-07-8 CAPLUS
 CN Phosphoric acid, bis(1,1-dimethylethyl) [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

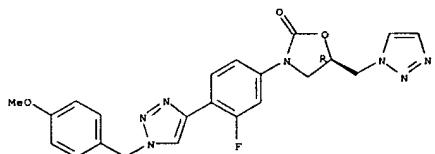


RN 765287-15-8 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[1-((4-methoxyphenyl)methyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ODP

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



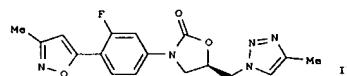
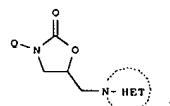
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:799583 CAPLUS
 DOCUMENT NUMBER: 141:314336
 TITLE: Preparation of 1,3-oxazolidin-2-one derivatives as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 70 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083205	A1	20040130	WO 2004-GB1119	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, 2M, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, 2M, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1603904	A1	20051214	EP 2004-720912	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2006083810	A1	20060420	US 2005-550039	20050921
PRIORITY APPLN. INFO.:				
			GB 2003-6358	20030424
			W 2004-GB1119	20040316

OTHER SOURCE(S): MARPAT 141:314336

GI



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 AB Title compds. represented by the formula I (wherein N-HET = (un)substituted 1-pyrazolyl, 1,2,3-triazol-1-yl, etc.; Q = (un)substituted heteroaryl Ph, pyridinyl, thiophenyl, etc.; and pharmaceutically acceptable salts or an in-vivo hydrolyzable ester thereof) were prepared as MAO-A (monoamine oxidase) inhibitors. For example, coupling reaction of

(SR)-3-(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one with 5-(tribucyliamino)-3-methylisoxazole gave II. II showed decreased MAO-A potency with K_i value of 21 μ g/ml. Thus, I and their pharmaceutical compns. are useful as antibacterial agents.

IT 765912-32-1P 765912-34-3P 765912-36-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOC (Biological study); PREP (Preparation); USES (Uses)

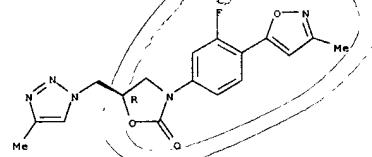
(Preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)

RN 765912-32-1 CAPLUS

CN 2-Oxazolidinone,

3-[4-(3-methyl-1H-1,2,3-triazol-1-ylmethyl)-5-[(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one], (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

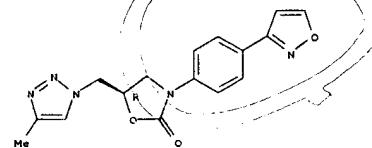


RN 765912-34-3 CAPLUS

CN 2-Oxazolidinone,

3-[4-(3-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one], (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

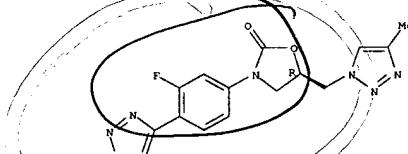


RN 765912-36-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1-phenylmethyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one], (SR)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry:



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

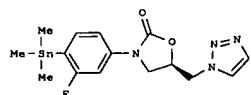
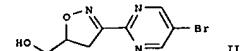
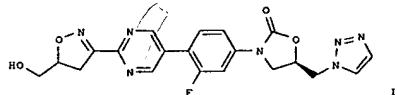
Not
ODP

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:550955 CAPLUS
 DOCUMENT NUMBER: 141:89124
 TITLE: A preparation of oxazolidinone derivatives, useful as
 antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Huynh,
 Hoan Khai
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056817	A1	20040708	WO 2003-GB5448	20031215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MM, MK, MN, MK, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BY, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003292422	A1	20040714	AU 2003-292422	20031215
EP 1572688	A1	20050914	EP 2003-768000	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512352	T2	20060413	JP 2004-561616	20031215
US 2006058314	A1	20060316	US 2005-519482	20050617
PRIORITY APPLN. INFO.:			GB 2002-29526	A 20021219
WO 2003-GB5448			W 20031215	

OTHER SOURCE(S): MARPAT 141:89124
 GI

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention relates to a preparation of oxazolidinone derivs. of formula

R1-A-C-B-CH2-R2 [wherein: A and B are independently selected from oxazolidinone or isoxazole derivs.; C is a biaryl group C1-C2 where C1 is benzene-1,4-diyl, thiene-2,5-diyl, or pyridine-2,5-diyl, etc., and C2 is pyridazine-3,6-diyl, pyrazine-2,5-diyl, pyrimidine-2,5-diyl, or 1,3,4-thiadiazole-2,5-diyl, etc.; R1 is CN, C(O), (un)substituted Ph or naphthyl, cycloalkyl, or heteroaryl, etc.; R2 is OH, OSi(trialkyl), or NHCO(Me), etc.], useful as antibacterial agents. For instance, oxazolidinone derivative I was prepared from the obtained

bromopyrimidine derivative

II and obtained trimethylstannylphenyloxazole derivative III in the presence of palladium catalyst. For instance, antibacterial properties of I against several types of bacteria were determined [MIC(μg/mL): staphylococcus aureus (2), streptococcus pneumoniae (0.25), haemophilus influenza (8)].

IT 716379-02-17 716379-05-42 716379-09-8P

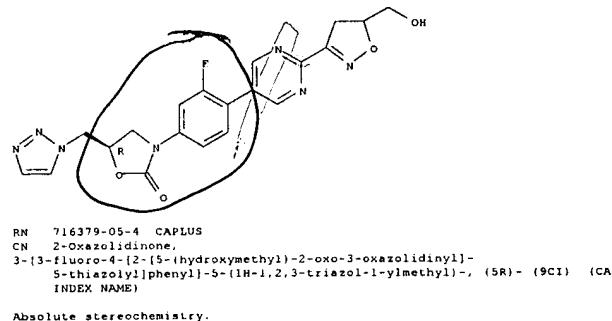
716379-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidinone derivs., useful as antibacterial agents)
 RN 716379-02-1 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[2-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-5-pyrimidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

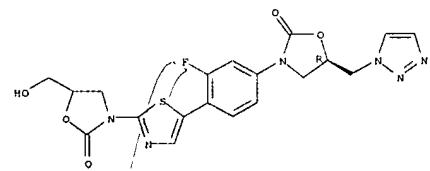
Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

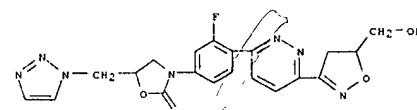


RN 716379-05-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-(5-(hydroxymethyl)-2-oxo-3-oxazolidinyl)-5-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



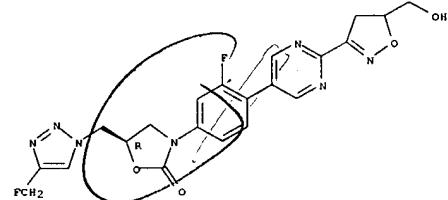
RN 716379-09-9 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 716379-12-3 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[2-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-5-pyrimidinyl]-3-fluorophenyl]-5-[4-(fluoromethyl)-1H-1,2,3-triazol-1-ylmethyl]-, (5R)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:292029 CAPLUS
 DOCUMENT NUMBER: 140:321158
 TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents
 INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyleere, Adegboyega
 PATENT ASSIGNEE(S): K. McConnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.
 SOURCE: Rib-X Pharmaceuticals, Inc., USA
 PCT Int. Appl., 363 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029066	A2	20040408	WO 2003-US30478	20030926
WO 2004029066	CI	20040513		
WO 2004029066	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UR, VC, VN, YA, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GM, GA, GH, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278995	A1	20040419	AU 2003-278995	20030925
US 2005197334	A1	20050908	US 2003-671326	20030925
CA 2500158	AA	20040408	CA 2003-2500158	20030926
EP 1543017	A2	20050622	EP 2003-770506	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, JV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HE, HU, SK	T2	20060202	JP 2004-540011	20030926
JP 2006053848			US 2002-414207P	P 20020926
PRIORITY APPLN. INFO.:			US 2003-448216P	P 20030219
			WO 2003-US30478	W 20030926

OTHER SOURCE(S): MARPAT 140:321158
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
 AB: The invention provides a family of bifunctional heterocyclic compds., e.g., I (A = C, C(=O), N (with proviso, that at least one A = C); B = O, NR2, S(O)r4, C(=O), C(=S), C(=N)R3; R1 = H, O, R; R2 = H, S(O)r4, CHO, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (unsaturated or aromatic C3-8-carbocycle, (un)saturated

Not a art

later than
5/20/03

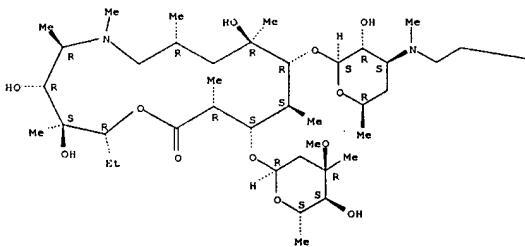
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 OR: arom. 5 to 10-membered heterocycle (contg. one or more N, S, O); NR2R2 = 5 to 8-membered (un)satd. carbocycle or heterocycle (contg. one or more N, S, O); R3 = H, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-acyl, (un)satd. or arom. C3-8-carbocycle, (un)satd. or arom. 5 to 7-membered heterocycle (contg. one or more N, S, O); NR3R3 = 5 to (un)satd. 7-membered carbocycle or heterocycle (contg. one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(=O)NR3R3, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph, substituted 4-vinylphenyl; G = Cl-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)satd. or arom. C5-10-carbocycle, (un)satd. or arom. 5 to 10-membered heterocycle (contg. one or more N, S, O); Z = C(N,O,S; dashed line = single or double bond) or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin deriv. II was prep'd. from N-(demethylerythromycin), via N-alkylation with HC(=O)pbond.CC(=O)H2O2s, and cycloaddn. with azide III. IT 677726-60-29 677726-62-49 677726-65-79 677727-94-59 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-60-2 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[3-
 (1-dimethylamino)methyl]-1H-1,2,3-triazol-1-yl)-1-fluorophenyl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

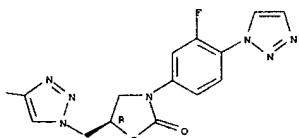
Absolute stereochemistry.

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

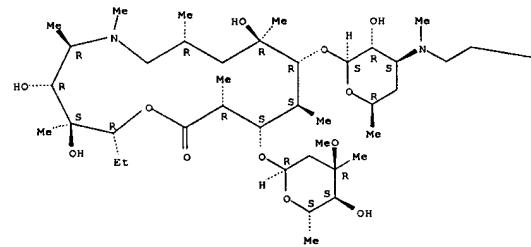


RN 677726-62-4 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[3-
 (1-dimethylamino)methyl]-1H-1,2,3-triazol-1-yl)-1-fluorophenyl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

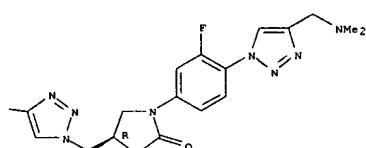
Absolute stereochemistry.

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

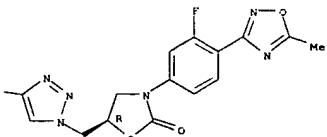
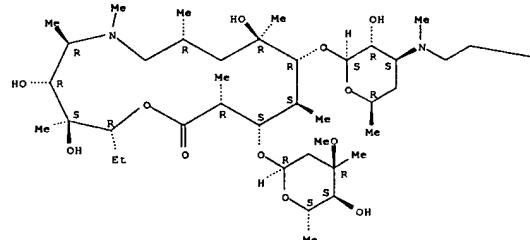
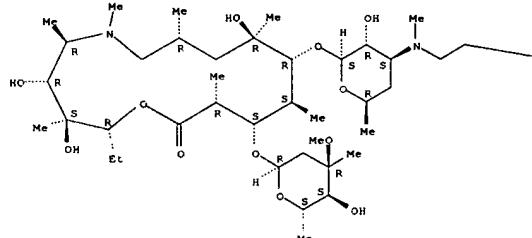


PAGE 1-B



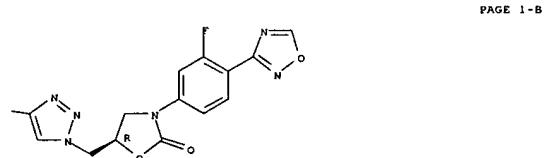
RN 677726-65-7 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[3-
 (1-dimethylamino)methyl]-1H-1,2,3-triazol-1-yl)-1-fluorophenyl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677727-94-5 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[(2,6-dideoxy-3-C-methyl-1-O-methyl-
 α-L-rhamnohexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[2-1]-[({5R})-3-(3-
 fluoro-4-[(1,2,4-oxadiazol-3-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-
 1,2,3-triazol-4-yl]ethyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Not ODP

ACCESSION NUMBER: 2003:696695 CAPLUS

DOCUMENT NUMBER: 139:214459

TITLE: Preparation of 5-azolylmethyl oxazolidinones and their

use as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

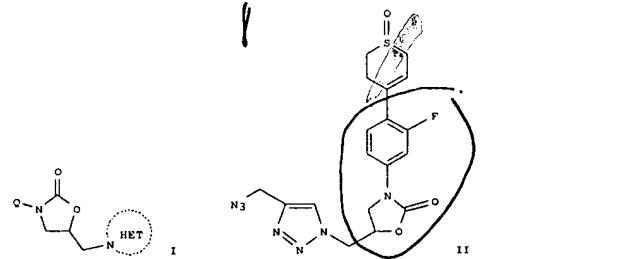
102(e)

PATENT INFORMATION:

102(a)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200302576	A2	20030904	WO 2003-GB791	20030225
WO 200302576	A3	20031231		
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CS, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MO, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, US, VC, VN, YU, ZA, ZM, ZW		ZM, ZW	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BE, CF, CG, CI, CM, GA, GH, GO, ML, MR, NE, SN, TD, TG	
CA 2477379	A1	20030904	CA 2003-2477379	20030225
AU 2003209994	A1	20030909	AU 2003-209994	20030225
EP 1460571	A2	20041201	EP 2003-742987	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008018	A	20050104	BR 2003-8018	20030225
CH 1653064	A	20050810	CH 2003-809160	20030225
US 2005182112	A1	20050818	US 2003-505902	20030225
JP 2004531504	T2	20051020	JP 2003-571402	20030225
SA 2004006684	A	20050921	ZA 2004-6684	20040823
NO 2004003951	A	20041111	NO 2004-3951	20040921
PRIORITY APPLN. INFO.:			US 2002-360688P	P 20020228
			WO 2003-GB791	W 20030225

OTHER SOURCE(S): MARPAT 139:214459
 GI



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown)

As I: e.g. (SR)-3-[(4-(1-Oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl)-5-((4-azidomethyl-1,2,3-triazol-1-yl)methyl)oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is, for example, halo or (1-4)alkyl

that is substituted by 1 substituent -, for example, OH, (1-4)alkoxy, amino, cyano, azido; O = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S) are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compns. containing them are described.

Compds. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example,

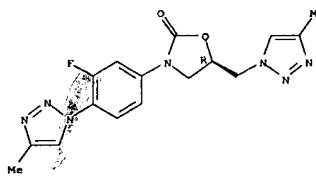
the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 4 and 8 µg/mL, resp. Compds. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolylmethyl or hydroxymethyl. They also

showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Sixty-one example preps. of I are included. For example, to prepare II, (SR)-3-(4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-hydroxymethyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (2.7 mmol) (preparation given) was suspended in

CHCl₂12 (10 mL), 1,8-diazabicyclo[5.4.0]undec-7-ene (4.7 mmol) was added and the reaction mixture was cooled to -5°; diphenylphosphoryl azide (3.25 mmol) was added dropwise and it was stirred for 18 h at room temperature;

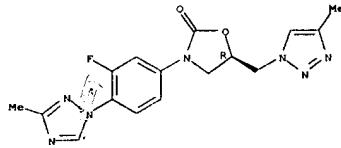
workup gave 1.02 g of II.

II 591253-98-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-



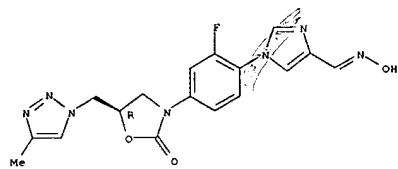
RN 591232-23-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-1H-1,2,4-triazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



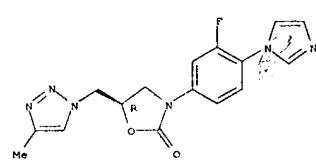
RN 591232-31-4 CAPLUS
 CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



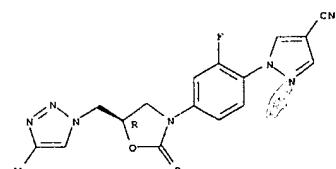
RN 591232-42-7 CAPLUS
 CN 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-[(4-pentyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591232-50-7 CAPLUS
 CN 1H-Pyrazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

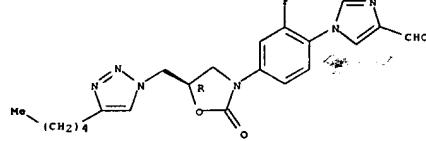
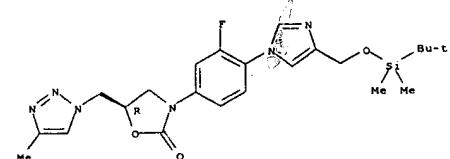
Absolute stereochemistry.



IT 591232-44-9, (5R)-3-[4-[(tert-Butyldimethylsilyloxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 Preparation of cyclized (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents

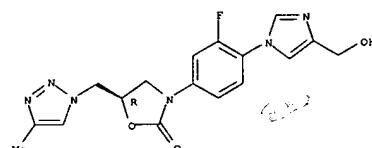
RN 591232-44-9 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[(1,1-dimethylsilyloxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



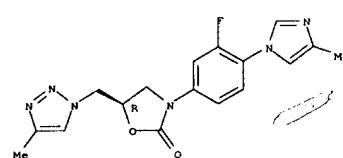
RN 591232-43-8 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[(4-hydroxymethyl)-1H-imidazol-1-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591232-46-1 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591232-49-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

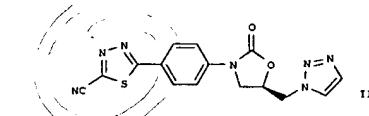
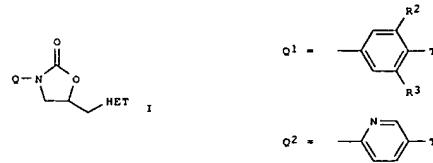
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:335104 CAPLUS
 DOCUMENT NUMBER: 138:353972
 TITLE: Preparation of 3-aryloxazolidinones with
 antibacterial
 INVENTOR(S): Graves-Cock, Michael Barry
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT-Intl. Appl., 80 pp.
 CODEN: PIIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2003035648 AI 20030501 WO 2002-GB4796 20021023
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MD, MG, MK, MM, MV, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, CA, GO, GH, ML, MR, NE, SN, TD, TG
 GB 2396350 AI 20040622 GB 2004-8399 20021023
 EP 1446403 AI 20040918 EP 2002-770098 20021023
 EP 1446403 B1 20060412
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 JP 2005519870 T2 20050707 JP 2003-538164 20021023
 US 2005043374 AI 20050224 US 2004-493609 20041018
 US 2001-330589P P 20011025
 PRIORITY APPLN. INFO.: WO 2002-GB4796 W 20021023

OTHER SOURCE(S): MARPAT 138:353972
 GI

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB: Title compds. I (wherein HET = (un)substituted 5-membered heterocyclic or 6-membered dihydroheterocaryl ring containing heteroatoms selected from N, O, and S; Q = Q1, Q2, etc.; R2 and R3 = independently heteroaryl containing 1-3 heteroatoms selected from N, O, and S; preferably T = (un)substituted 1,3,4-thiadiazolyl, thiazolyl, 1,3,4-oxadiazolyl, or oxazolyl; and pharmaceutically acceptable salts or hydrolyzable esters thereof) were prepared as antibacterial agents. For example, (SR)-3-(3-fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one was mesylated and the product converted to the azide. Cyclization of the azide with bicyclo[2.2.1]heptadiene gave the 1,2,3-triazole, which was substituted with hexamethyldisilane to afford the stannane. Reaction with S-chloro-1,3,4-thiadiazole-3-carbonitrile in the presence of AsPh₃ and tri(dibenzylideneacetone)dipalladium in N-methyl-2-pyrrolidinone provided II. The latter inhibited bacterial growth against

Staphylococcus aureus (methicillin sensitive and quinolone sensitive), *Staphylococcus aureus* (methicillin resistant and quinolone resistant), *Streptococcus pneumoniae*, *Streptococcus pyogenes*, *Haemophilus influenzae*, and *Moraxella catarrhalis* with MIC values of 0.125 μ g/mL, 0.25 μ g/mL, 0.125 μ g/mL, 0.125 μ g/mL, 2 μ g/mL, and 0.5 μ g/mL, resp.

IT 519003-00-OP, (SR)-3-(3-Fluoro-4-(5-cyano-1,3,4-thiadiazol-2-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-02-2P, (SR)-3-(3-Fluoro-4-(5-ethoxycarbonyl-1,3,4-

thiadiazol-2-ylphenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-03-3P, (SR)-3-(4-(5-(Aminomethyl)-1,3-thiazol-2-yl)-3-fluorophenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-05-5P, (SR)-3-(3-Fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-11-3P, (SR)-3-(3-Fluoro-4-(4-methyl-1,3-thiazol-2-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-14-6P, (SR)-3-(3-Fluoro-4-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenyl)-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one
 519003-16-8P

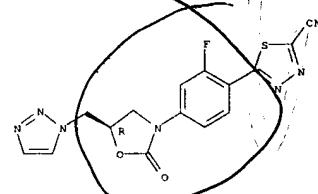
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (Therapeutic use); BIOT (Biological study); PREP (Preparation); USES
 (Uses)
 (antibacterial agent; prepn. of (aryl)oxazolidinones as antibacterial agents)

RN 519003-00-0 CAPLUS

CN 1,3,4-Thiadiazole-2-carbonitrile, 5-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

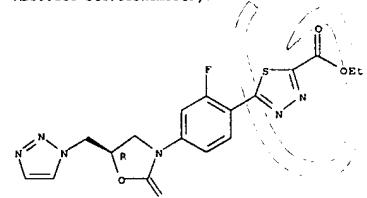
Absolute stereochemistry.



RN 519003-02-2 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

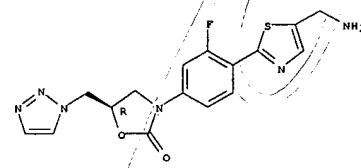


RN 519003-03-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-(5-(aminomethyl)-2-thiazolyl)-2-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

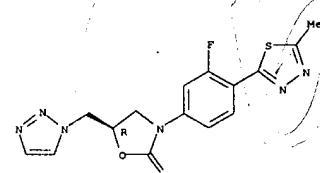
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 519003-05-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (SR)- (9CI) (CA INDEX NAME)

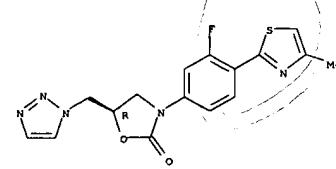
Absolute stereochemistry.



RN 519003-11-3 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-2-thiazolyl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



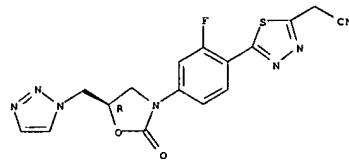
RN 519003-14-6 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-(trifluoromethyl)-2-thiazolyl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

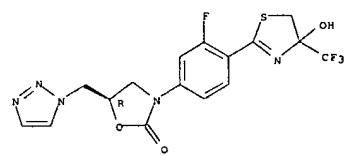
RN 519003-16-8 CAPLUS
 CN 1,3,4-Thiadiazole-2-acetonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 519003-15-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of (aryl)oxazolidinones as antibacterial agents)
 RN 519003-15-7 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[4,5-dihydro-4-hydroxy-4-(trifluoromethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Not ODP
 DP
 102cb)

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:798227 CAPLUS
 DOCUMENT NUMBER: 135:344473
 TITLE: Oxazolidinone derivatives with antibacterial activity
 INVENTOR(S): Graveslock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

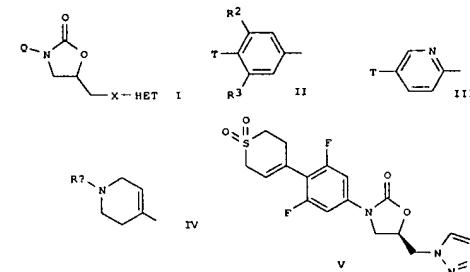
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, DE, DK, DR, DZ, EB, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MG, MK, MN, MW, MX, MD, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, BA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BE, CF, CG, CI, CM, GA, GN, GE, ML, MR, NE, SN, TD, TG				
CA 2405349	AA	20011101	CA 2001-2405349	20010423
BR 2001010240	A	20030107	BR 2001-10240	20010423
EP 1286998	A1	20030305	EP 2001-921669	20010423
EP 1286998	BI	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, BE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003512111	T2	20031021	JP 2001-578439	20010423
EP 200200598	A	20040415	EP 2002-598	20010423
W: AT, 521765	A	20040529	NZ 2001-521765	20010423
AT 20040615	E	20040615	AT 2001-921669	20010423
PT 20040930	T	20040930	PT 2001-921669	20010423
ES 2220759	T3	20041216	ES 2001-1921669	20010423
AU 281784	B2	20050616	AU 2001-48633	20010423
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NO 2002005091	A	20021209	NO 2002-5091	20021023
US 2003216373	A1	20031120	US 2003-258355	20030506
HK 1051114	A1	20030219	HK 2003-105394	20030725
PRIORITY APPLN. INFO.:			GB 2000-9803	A 20000425
			WO 2001-GB1815	W 20010423

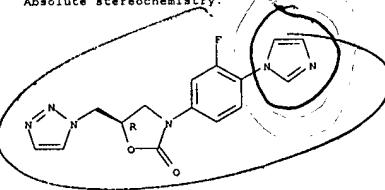
OTHER SOURCE(S): MKPAT 135:344473
 GI



AB The title compds. [I: X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = H, III, IV, etc. (wherein R2, R3 = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; Rc = R13CO, R13SO2, R13CS, etc.; R13 = alkyl, etc.); useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 µg/mL against *Staphylococcus aureus* (Oxford), was given.

IT 371194-46-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); B10L (Biological study); PREP (Preparation); USES (Uses) (oxazolidinone derivs. with antibacterial activity)
 RN 371194-46-6 CAPLUS
 CN 2-Oxazolidinone, 3-[3-(1H-imidazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

14 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT .

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	46.45	213.60
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

STN INTERNATIONAL LOGOFF AT 07:32:46 ON 18 MAY 2006